

Claims

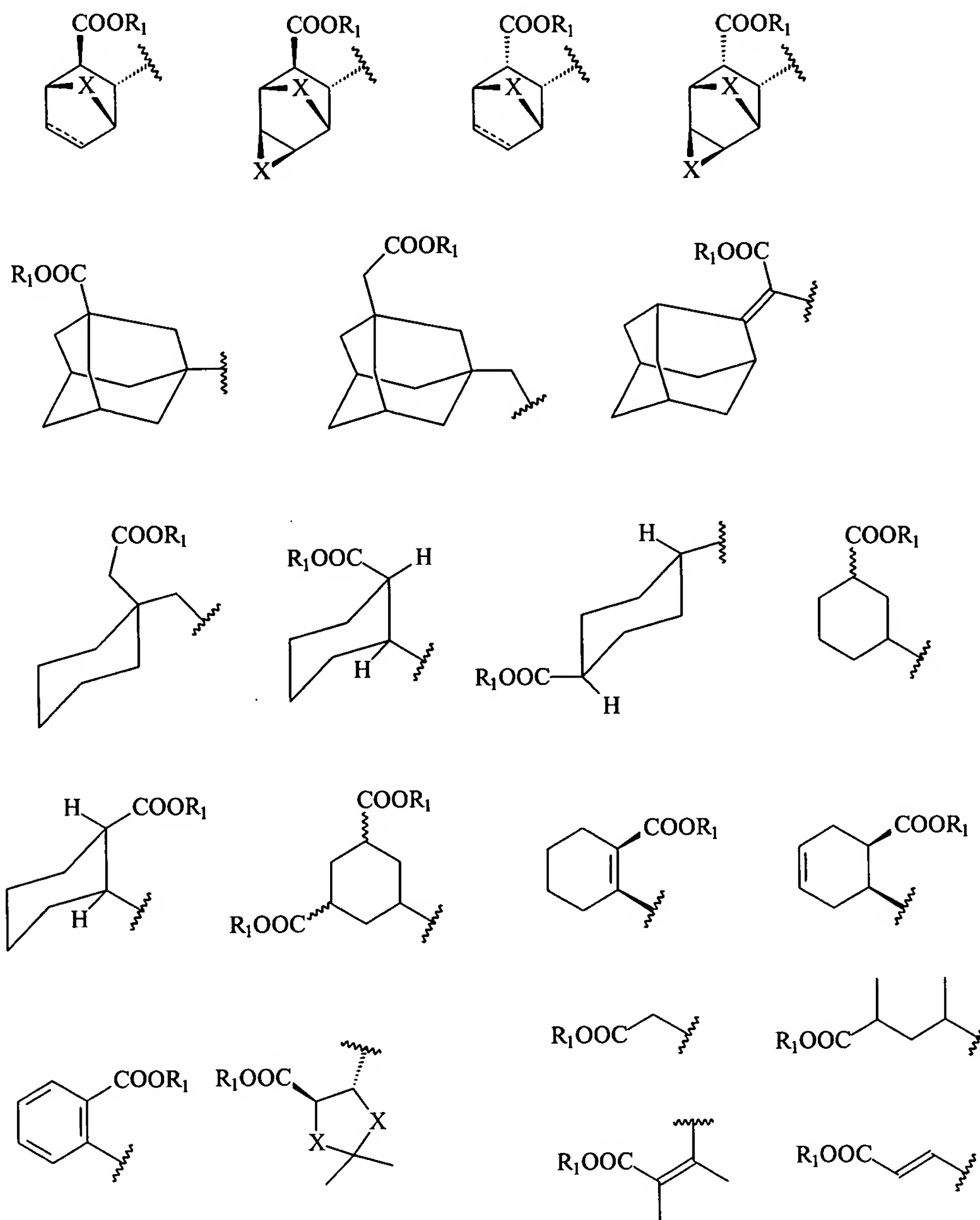
I claim:

1. An A₁AdoR antagonist that has at least one characteristic chosen from the group consisting of:

- a. the compound is metabolized both by CYP450 and by a non-oxidative metabolic enzyme or system of enzymes;
- b. the compound has a short (up to four (4) hours) non-oxidative metabolic half-life;
- c. the compound contains a hydrolysable bond that can be cleaved non-oxidatively by hydrolytic enzymes;
- d. the primary metabolites of the compound result from the non-oxidative metabolism of the compound;
- e. the primary metabolites are soluble in water at physiological pH;
- f. the primary metabolites have negligible inhibitory activity at the I_KR (HERG) channel at normal therapeutic concentration of the parent drug in plasma;
- g. the compound, as well as the metabolites thereof, does not cause metabolic DDI when co-administered with other drugs; and
- h. the compound, as well as metabolites thereof, does not elevate LFT values when administered alone.

2. The compound, according to claim 1, which is a 1,3 dipropylxanthine with an ester function at the 8-position.

3. The compound, according to claim 1, wherein said ester function has a structure selected from the group consisting of:



and salts thereof;

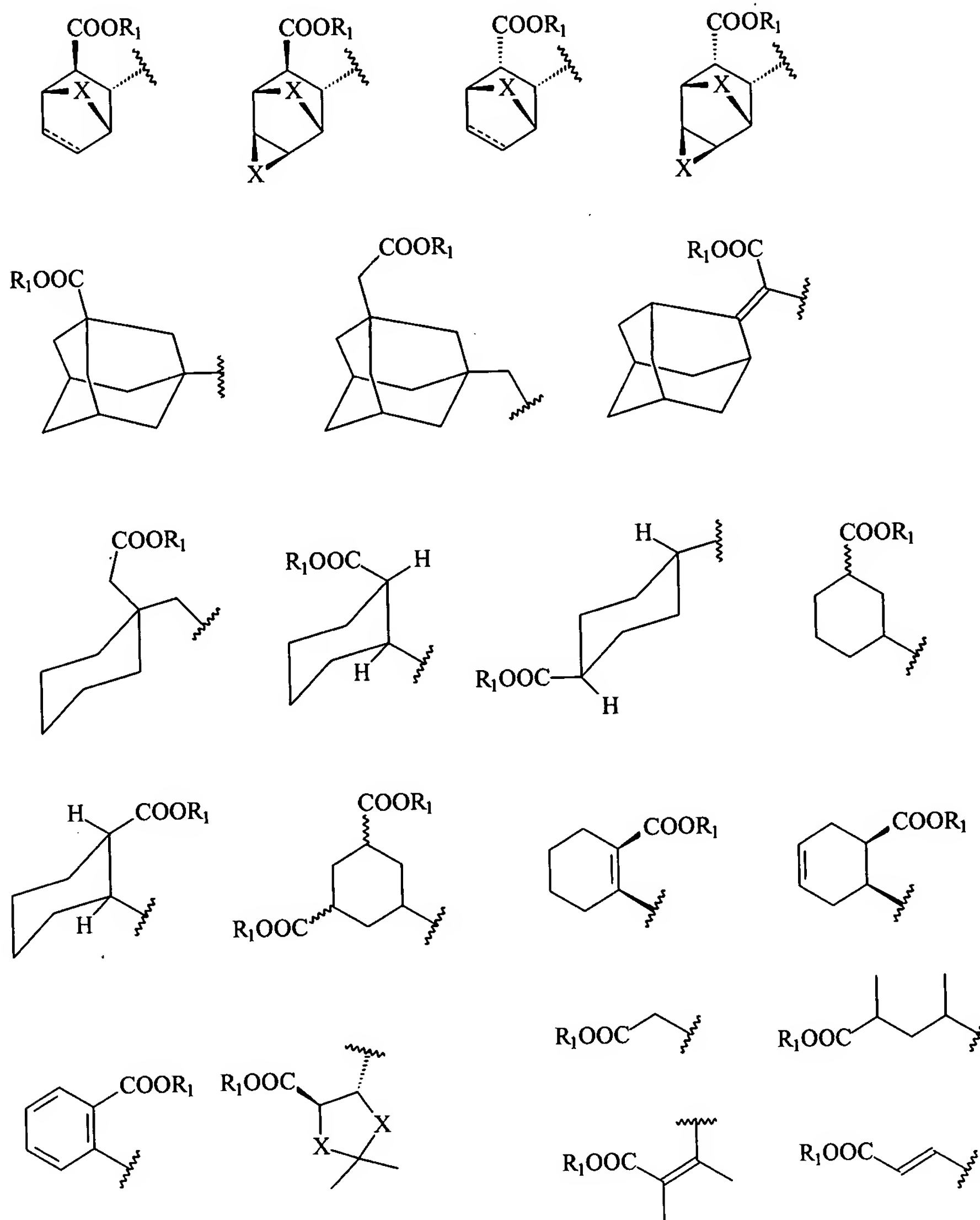
wherein R₁ is alkyl.

4. A pharmaceutical composition comprising an A₁AdoR antagonist that has at least one characteristic chosen from the group consisting of:

- a. the compound is metabolized both by CYP450 and by a non-oxidative metabolic enzyme or system of enzymes;
 - b. the compound has a short (up to four (4) hours) non-oxidative metabolic half-life;
 - c. the compound contains a hydrolysable bond that can be cleaved non-oxidatively by hydrolytic enzymes;
 - d. the primary metabolites of the compound result from the non-oxidative metabolism of the compound;
 - e. the primary metabolites are soluble in water at physiological pH;
 - f. the primary metabolites have negligible inhibitory activity at the IK_R (HERG) channel at normal therapeutic concentration of the parent drug in plasma;
 - g. the compound, as well as the metabolites thereof, does not cause metabolic DDI when co-administered with other drugs; and
 - h. the compound, as well as metabolites thereof, does not elevate LFT values when administered alone;
- wherein said composition further comprises a pharmaceutical carrier.

5. The pharmaceutical composition, according to claim 4, wherein said compound is a 1,3 dipropylxanthine that has an ester function at the 8-position.

6. The pharmaceutical composition, according to claim 4, wherein said ester function has a structure selected from the group consisting of:



and salts thereof;

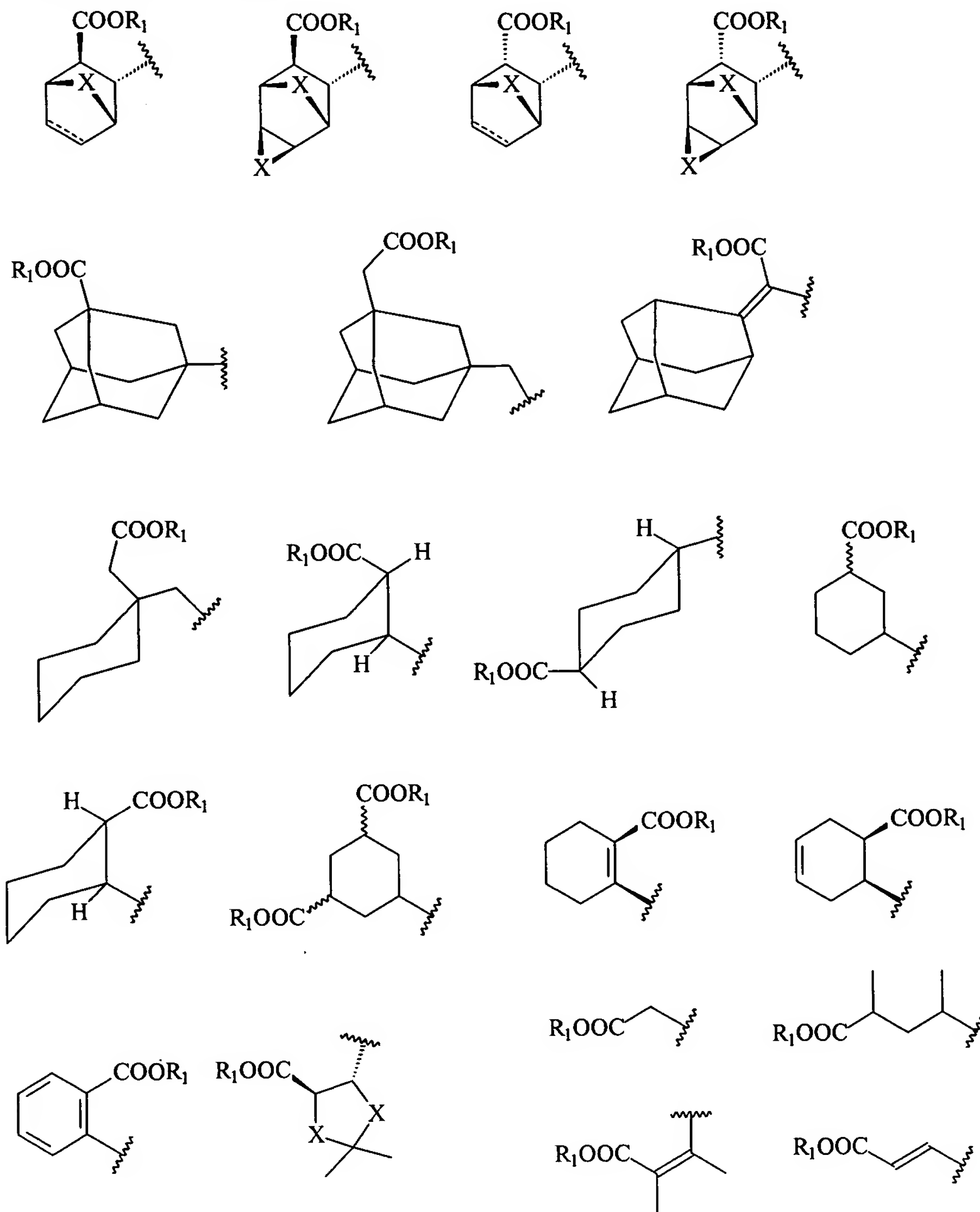
wherein R_1 is alkyl.

7. A method for inhibiting the A₁Ado receptor in an individual in need of such treatment wherein said method comprises administering to said individual a pharmaceutical composition comprising an A₁AdoR antagonist that has at least one characteristic chosen from the group consisting of:

- a. the compound is metabolized both by CYP450 and by a non-oxidative metabolic enzyme or system of enzymes;
- b. the compound has a short (up to four (4) hours) non-oxidative metabolic half-life;
- c. the compound contains a hydrolysable bond that can be cleaved non-oxidatively by hydrolytic enzymes;
- d. the primary metabolites of the compound result from the non-oxidative metabolism of the compound;
- e. the primary metabolites are soluble in water at physiological pH;
- f. the primary metabolites have negligible inhibitory activity at the I_K_R (HERG) channel at normal therapeutic concentration of the parent drug in plasma;
- g. the compound, as well as the metabolites thereof, does not cause metabolic DDI when co-administered with other drugs; and
- h. the compound, as well as metabolites thereof, does not elevate LFT values when administered alone.

8. The method, according to claim 7, wherein said compound is a 1,3 dipropylxanthine with an ester function at the 8-position.

9. The method, according to claim 7, wherein said ester function has a structure selected from the group consisting of:



and salts thereof;

wherein R₁ is alkyl.

10. The method, according to claim 7, wherein the individual is a human.

11. The method, according to claim 7, wherein said individual has congestive heart failure.